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**Carboxamide-substituted phenylurea derivatives for the treatment of type II diabetes.** Defossa, Elisabeth; Klabunde, Thomas; Burger, Hans-Joerg; Herling, Andreas; Von Roedern, Erich; Peukert, Stefan; Enhsen, Alfons; Bauer, Armin; Neises, Berd; Wendt, Karl Ulrich. (Aventis Pharma Deutschland GmbH, Germany). PCT Int. Appl. (2002), 71 pp. CODEN: PIXXD2 WO 2002096864 A1 20021205 Designated States W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM. Designated States RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, ML, MR, NE, SN, TD, TG. Patent written in German. Application: WO 2002-EP5205 20020511. Priority: DE 2001-10125567 20010525; DE 2002-10207369 20020221. CAN 138:4424 AN 2002:927393 CAPLUS

## Patent Family Information

Patent No.	Kind	Date	Application No.	Date
WO 2002096864	A1	20021205	WO 2002-EP5205	20020511
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10125567	A1	20021205	DE 2001-10125567	20010525
DE 10125567	B4	20040826		
DE 10207369	A1	20030904	DE 2002-10207369	20020221
CA 2448023	AA	20021205	CA 2002-2448023	20020511
NZ 529697	A	20031223	NZ 2002-529697	20020511
EE 200300581	A	20040216	EE 2003-581	20020511
EP 1404650	A1	20040407	EP 2002-774016	20020511
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010008	A	20040810	BR 2002-10008	20020511
CN 1525955	A	20040901	CN 2002-810597	20020511
JP 2004529194	T2	20040924	JP 2003-500044	20020511
US 2003176497	A1	20030918	US 2002-153597	20020524
US 6812250	B2	20041102		
ZA 2003008308	A	20040728	ZA 2003-8308	20031024
BG 108318	A	20050131	BG 2003-108318	20031105
HK 1065027	A1	20060113	HK 2004-107930	20041014
US 2005143456	A1	20050630	US 2004-978674	20041101

## Priority Application

DE 2001-10125567	A	20010525
DE 2002-10207369	A	20020221
WO 2002-EP5205	W	20020511
US 2002-153597	A3	20020524

## Abstract

Phenylureas I [A = (un)substituted Ph, naphthyl; R1, R2 = H, alkyl, alkoxy, acyl, alkoxycarbonyl; R3-R6 = H, F, Cl, Br, OH, CF3, NO2, CN, OCF3, alkoxy, alkenyloxy, alkynyoxy, alkylthio, alkenylthio, alkynylthio, alkylsulfinyl, alkylsulfonyl, SO2NH2, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylakyl, CO2H, alkoxycarbonyl, (un)substituted CONH2, NH2; R7 = H, alkyl, acyl; R8 = (un)substituted alkyl, aryl, aralkyl] were prep'd. Thus, 2-ClC6H4CONH2 was converted to 2-ClC6H4NCO, treated with 4,3-Cl(H2N)C6H3CO2H to give the urea which was amidated with 4-amino-1-ethoxycarbonylpiperidine to give the phenylurea II. II caused 87% inhibition of glycogen phosphorylase at 10 µM.

